

PATENT ABSTRACTS OF JAPAN

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(54) AGENT FOR PREVENTION AND TREATMENT OF ALZHEIMER'S DISEASE

(57)Abstract:

PURPOSE: To obtain an agent for the prevention and treatment of Alzheimer's disease caused by the lowering of intracranial acetylcholine content by using a medium-chain fatty acid triglyceride containing 8-10C fatty acid as a main component.

CONSTITUTION: A medium-chain fatty acid triglyceride containing 8-10C fatty acid such as caprylic acid and capric acid as the constituent fatty acid is used as a main component of the objective agent for the prevention and treatment of Alzheimer's disease. The constituent free fatty acid of the agent passes through the blood-brain barrier into the cerebral spinal fluid and is metabolized in the brain cell to acetyl CoA. Excess acetyl CoA forms acetylcholine together with choline. The amount of the active component in the agent for prevention and treatment of Alzheimer's disease is preferably 5-15wt.%. The agent for the prevention and treatment of Alzheimer's disease is administered e.g. in the form of a fat emulsion. The emulsion is prepared from the above active component, an emulsifier such as phospholipid, water and arbitrary other additive components.

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Agent for prevention and/or therapeutics of Alzheimer's disease - contg. triglyceride of 8-10 carbon fatty acids as active ingredient

Patent Assignee: GREEN CROSS CORP

Patent Family

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Patent Details

Patent	Kind	Language	Page	Main IPC	Filing Notes
JP 6287138	A		5	A61K-031/23	

Abstract:

JP 6287138 A

A medicinal formulation contg. the triglyceride of 8-10C fatty acids (MCT) as an active for the prevention and/or treatment of Alzheimer's disease.

The preferable fatty acid as a constituent of MCT is capric acid (A) and caprinic acid (B). The A/B ratio is pref. 8:2-4:6. MCT is pref. administered as a lipid emulsion.

The emulsion consists of MCT, medically usable purified emulsifier such as phospholipid e.g. phosphatidylcholine or phosphatidyl-ethanolamine, or nonionic surfactant, purified water and e.g. emulsifying adjunct, stabiliser and isotonic agent. The amt. of MCT in the emulsion is 1-50% (w/v), pref. 5-15% (w/v); the ratio of emulsifier to MCT is pref. 10-50 wt.%. The formulation contains opt. long-chain fatty acid triglycerides (LCT) of 16-18C saturated or unsaturated fatty acids. The LCT vegetable oils are pref. soybean oil. The ratio of MCT/LCT (w/w) is 4:1-1:4, pref. 2:1-1/2, esp. 1/1.

MCT is obtd. by esterification of glycerol, enzymatic transesterification of triglycerides or sepn. from natural oils and fats. A purity more than 90% is sufficient (pref. at least 95%).

USE/ADVANTAGE - The agent is esp. useful for the treatment of Alzheimer's disease, where a decrease in the acetylcholine content in brain is supposed to be responsible. MCT is readily absorbed from the small intestine and the middle-chain fatty acids produced through metabolisation of MCT in the liver are supplied to the brain and transformed to acetyl-CoA, from which acetylcholine is then synthesised. The mode of action of the agent is therefore supply of acetylcholine in the brain of patients suffering from Alzheimer's disease.

In an example, an MCT emulsion contg. 10% MCT, 1.8% yolk phospholipid and 2.21% pharmaceutical-grade glycerine was administered to 4 weeks old male rats intravenously at 20 mg/kg at the rate of 4 ml/min. per animal. At pre-determined intervals until 2 hr. after admin. the content of acetylcholine and choline in the brain

was measured. The acetylcholine content (nmol/g wet tissue) increased along with the passage of time, starting from 18.8 to the maximum (28.8) at 30 min. after admin. then decreased slowly to 19.2 at 2 hr. after admin. The choline content decreased at 10 min. after admin. then increased slowly to the previous level at 2 hr. after admin. indicating that octanoic acid, a metabolite of MCT, was transferred into brain and used for the synthesis of acetyl-CoA, which was then transformed to acetylcholine.

The MCT used as a triglyceride of the composition that three fatty acid residues consisted of 77% A and 23% B were randomly bound to a glycerin molecule via ester linkage. It was a pale yellow liquid of freezing point -14 deg.C and its solubility in water was 60 ml/dl. The combustion energy of it was 8.3 kcal/g.

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